

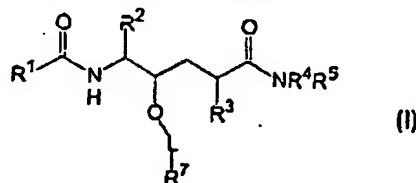
PATENT

Attorney Docket No. PC25047A US

Claim Listing:

2064009 554

1. (Currently Amended) A compound of the formula (I)



wherein  $R^1$  is  $(C_2-C_9)$ heteroaryl optionally substituted with one or more substituents, wherein each substituent is independently hydrogen, oxygen, halo, CN,  $(C_1-C_6)$ alkyl, hydroxy, hydroxy- $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy,  $(C_1-C_6)$ alkoxy- $(C_1-C_6)$ alkyl,  $HO-(C=O)-$ ,  $(C_1-C_6)$ alkyl- $O-(C=O)-$ ,  $HO-(C=O)-(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl- $O-(C=O)-(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl- $(C=O)-O-$ ,  $(C_1-C_6)$ alkyl- $(C=O)-O-(C_1-C_6)$ alkyl,  $H(O=C)-$ ,  $H(O=C)-(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkyl- $(O=C)-$ ,  $(C_1-C_6)$ alkyl- $(O=C)-(C_1-C_6)$ alkyl,  $NO_2$ , amino,  $(C_1-C_6)$ alkylamino,  $[(C_1-C_6)alkyl]_2$ amino, amino- $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkylamino- $(C_1-C_6)$ alkyl,  $[(C_1-C_6)alkyl]_2$ amino- $(C_1-C_6)$ alkyl,  $H_2N-(C=O)-$ ,  $(C_1-C_6)alkyl-NH-(C=O)-$ ,  $[(C_1-C_6)alkyl]_2N-(C=O)-$ ,  $H_2N(C=O)-(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl-HN(C=O)-(C_1-C_6)alkyl$ ,  $[(C_1-C_6)alkyl]_2N-(C=O)-(C_1-C_6)alkyl$ ,  $H(O=C)-NH-$ ,  $(C_1-C_6)alkyl(C=O)-NH$ ,  $(C_1-C_6)alkyl(C=O)-[NH](C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl(C=O)-[N(C_1-C_6)alkyl](C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl-S-$ ,  $(C_1-C_6)alkyl(S=O)-$ ,  $(C_1-C_6)alkyl-SO_2-$ ,  $(C_1-C_6)alkyl-SO_2-NH-$ ,  $H_2N-SO_2-$ ,  $H_2N-SO_2-(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkylHN-SO_2-(C_1-C_6)alkyl$ ,  $[(C_1-C_6)alkyl]_2N-SO_2-(C_1-C_6)alkyl$ ,  $CF_3SO_3-$ ,  $(C_1-C_6)alkyl-SO_3-$ , phenyl,  $(C_3-C_{10})$ cycloalkyl,  $(C_2-C_9)$ heterocycloalkyl, or  $(C_2-C_9)$ heteroaryl;

$R^2$  is phenyl- $(CH_2)_m$ , naphthyl- $(CH_2)_m$ ,  $(C_3-C_{10})$ cycloalkyl- $(CH_2)_m$ ,  $(C_1-C_6)alkyl$  or  $(C_2-C_9)heteroaryl-(CH_2)_m$ , wherein  $m$  is zero, one, two, three or four, wherein each of said phenyl, naphthyl,  $(C_3-C_{10})$ cycloalkyl and  $(C_2-C_9)heteroaryl$  moieties of said phenyl- $(CH_2)_m$ , naphthyl- $(CH_2)_m$ ,  $(C_3-C_{10})$ cycloalkyl- $(CH_2)_m$  and  $(C_2-C_9)heteroaryl-(CH_2)_m$  groups may optionally be substituted with one or more substituents, wherein each substituent is independently hydrogen, halo, CN,  $(C_1-C_6)alkyl$ , hydroxy, hydroxy- $(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkoxy$ ,  $(C_1-C_6)alkoxy(C_1-C_6)alkyl$ ,  $HO-(C=O)-$ ,  $(C_1-C_6)alkyl-O-(C=O)-$ ,  $HO-(C=O)-(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl-O-(C=O)-(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl-(C=O)-O-$ ,  $(C_1-C_6)alkyl-(C=O)-O-(C_1-C_6)alkyl$ ,  $H(O=C)-$ ,  $H(O=C)-(C_1-C_6)alkyl$ ,  $(C_1-C_6)alkyl(O=C)-$ ,  $(C_1-C_6)alkyl(O=C)-(C_1-C_6)alkyl$ ,  $NO_2$ , amino,  $(C_1-C_6)alkylamino$ ,

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[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-,  
H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-  
SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl,  
phenoxy, benzyloxy, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>10</sub>)alkyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-,  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(CH<sub>2</sub>)<sub>n</sub>- or aryl-(CH<sub>2</sub>)<sub>n</sub>-; wherein n is zero, one, two, three, four, five or six;

wherein the (C<sub>1</sub>-C<sub>10</sub>)alkyl moiety of said R<sup>3</sup> (C<sub>1</sub>-C<sub>10</sub>)alkyl group may optionally be  
substituted with one or more substituents, wherein each substituent is independently hydrogen, halo,  
CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>8</sup>-L-O-, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-  
O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino,  
amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-  
HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-  
NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-  
SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl; and  
wherein any of the carbon-carbon single bonds of said (C<sub>1</sub>-C<sub>10</sub>)alkyl may optionally be replaced by  
a carbon-carbon double bond;

wherein the (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl moiety of said R<sup>3</sup> (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>- group may  
optionally be substituted by one to three substituents, wherein each substituent is  
independently hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy,  
(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>8</sup>-L-O-, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl,

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(C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

wherein the (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl moiety of said R<sup>3</sup> (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl-(CH<sub>2</sub>)<sub>n</sub>- group comprises nitrogen, sulfur, oxygen, >S(=O), >SO<sub>2</sub> or >NR<sup>6</sup>, wherein said (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl moiety of said (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl-(CH<sub>2</sub>)<sub>n</sub>- group may optionally be substituted on any of the ring carbon atoms capable of forming an additional bond with a substituent, wherein the substituent is hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>8</sup>-L-O-, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

wherein the (C<sub>2</sub>-C<sub>9</sub>)heteroaryl moiety of said R<sup>3</sup> (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(CH<sub>2</sub>)<sub>n</sub>- group comprises nitrogen, sulfur or oxygen wherein said (C<sub>2</sub>-C<sub>9</sub>)heteroaryl moiety of said (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(CH<sub>2</sub>)<sub>n</sub>- group may optionally be substituted on any of the ring carbon atoms capable of forming an

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additional bond with a substituent, wherein the substituent is hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>8</sup>-L-O-, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl; and

wherein said aryl moiety of said R<sup>3</sup> aryl-(CH<sub>2</sub>)<sub>n</sub> group is optionally substituted phenyl or naphthyl, wherein said phenyl and naphthyl may optionally be substituted with from one to three substituents, wherein each substituent is independently hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>8</sup>-L-O-, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

or R<sup>3</sup> and the carbon to which it is attached form a five to seven membered carbocyclic ring,

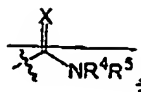
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wherein any of the carbon atoms of said five membered carbocyclic ring may optionally be substituted with a substituent, wherein the substituent is hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-L-O-, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl; wherein one of the carbon-carbon bonds of said five to seven membered carbocyclic ring may optionally be fused to an optionally substituted phenyl ring, wherein said phenyl substituents may be hydrogen, halo, CN, (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy, hydroxy-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, HO-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-, H(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(O=C)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-, H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

Y is (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, R<sup>5</sup>R<sup>6</sup>N-sulfonyl or a group of the formula



X is O, S, or  $\text{NR}^{12}$ ;

$\text{R}^4$  is hydrogen,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ , hydroxy,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ , hydroxy $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}=\text{O})$ -,  $(\text{C}_3\text{-C}_{10})\text{cycloalkyl}(\text{CH}_2)_p$ -,  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}(\text{CH}_2)_p$ -,  $(\text{C}_2\text{-C}_9)\text{heteroaryl}(\text{CH}_2)_p$ -, phenyl $(\text{CH}_2)_p$ -, or naphthyl $(\text{CH}_2)_p$ -, wherein p is zero, one, two, three or four; wherein said  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}$ ,  $(\text{C}_2\text{-C}_9)\text{heteroaryl}$ , phenyl and naphthyl groups of said  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}(\text{CH}_2)_p$ -,  $(\text{C}_2\text{-C}_9)\text{heteroaryl}(\text{CH}_2)_p$ -, phenyl $(\text{CH}_2)_p$ -, or naphthyl $(\text{CH}_2)_p$ - may be optionally substituted on any of the ring atoms capable of supporting an additional bond with a substituent, wherein the substituent is hydrogen, halo, CN,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ , hydroxy, hydroxy $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{HO}(\text{C}=\text{O})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-O}(\text{C}=\text{O})$ -,  $\text{HO}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl-O}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-O}$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-O}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{H}(\text{O}=\text{C})$ -,  $\text{H}(\text{O}=\text{C})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{O}=\text{C})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{O}=\text{C})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $\text{NO}_2$ , amino,  $(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,  $[(\text{C}_1\text{-C}_6)\text{alkyl}]_2\text{amino}$ , amino $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkylamino}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $[(\text{C}_1\text{-C}_6)\text{alkyl}]_2\text{amino}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{H}_2\text{N}(\text{C}=\text{O})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-NH}(\text{C}=\text{O})$ -,  $[(\text{C}_1\text{-C}_6)\text{alkyl}]_2\text{N}(\text{C}=\text{O})$ -,  $\text{H}_2\text{N}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl-HN}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $[(\text{C}_1\text{-C}_6)\text{alkyl}]_2\text{N}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $\text{H}(\text{O}=\text{C})\text{-NH}$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{O}=\text{C})\text{-NH}$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-[NH]}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-[N}(\text{C}_1\text{-C}_6)\text{alkyl}]$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl-S}$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{S}=\text{O})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-SO}_2$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-SO}_2\text{-NH}$ -,  $\text{H}_2\text{N-SO}_2$ -,  $\text{H}_2\text{N-SO}_2$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkylHN-SO}_2$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $[(\text{C}_1\text{-C}_6)\text{alkyl}]_2\text{N-SO}_2$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $\text{CF}_3\text{SO}_3$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-SO}_3$ -, phenyl,  $(\text{C}_3\text{-C}_{10})\text{cycloalkyl}$ ,  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}$ , or  $(\text{C}_2\text{-C}_9)\text{heteroaryl}$ ;

or  $\text{R}^4$  and  $\text{R}^5$  together with the nitrogen atom to which they are attached form a  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}$  group wherein any of the ring atoms of said  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}$  group may optionally be substituted with a substituent, wherein the substituent is hydrogen, halo, CN,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ , hydroxy, hydroxy $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{HO}(\text{C}=\text{O})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl-O}(\text{C}=\text{O})$ -,  $\text{HO}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl-O}(\text{C}=\text{O})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-O}$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{C}=\text{O})\text{-O}(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $\text{H}(\text{O}=\text{C})$ -,  $\text{H}(\text{O}=\text{C})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{O}=\text{C})$ -,  $(\text{C}_1\text{-C}_6)\text{alkyl}(\text{O}=\text{C})$ -( $\text{C}_1\text{-C}_6$ )alkyl,  $\text{NO}_2$ , amino,  $(\text{C}_1\text{-C}_6)\text{alkylamino}$ ,

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[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub> amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino (C<sub>1</sub>-C<sub>6</sub>)alkyl,  
[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)-, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-,  
H<sub>2</sub>N(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-HN(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-(C=O)-  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, H(O=C)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[NH](C<sub>1</sub>-C<sub>6</sub>)alkyl,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl(C=O)-[N(C<sub>1</sub>-C<sub>6</sub>)alkyl](C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(S=O)-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-NH-, H<sub>2</sub>N-SO<sub>2</sub>-, H<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylHN-  
SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>N-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl, CF<sub>3</sub>SO<sub>3</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>3</sub>-, phenyl,  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, or (C<sub>2</sub>-C<sub>9</sub>)heteroaryl;

R<sup>5</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl or amino;

R<sup>6</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-(CH<sub>2</sub>)<sub>g</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C=O)-(CH<sub>2</sub>)<sub>g</sub>-,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl-(SO<sub>2</sub>)-(CH<sub>2</sub>)<sub>g</sub>-, (C<sub>6</sub>-C<sub>10</sub>)aryloxy-(CH<sub>2</sub>)<sub>g</sub>-, (C<sub>6</sub>-C<sub>10</sub>)aryloxy(C=O)-(CH<sub>2</sub>)<sub>g</sub>-, or  
(C<sub>6</sub>-C<sub>10</sub>)aryl-(SO<sub>2</sub>)-(CH<sub>2</sub>)<sub>g</sub>-, wherein g is an integer from zero to four;

R<sup>7</sup> and R<sup>8</sup> are each independently hydrogen, (OH)<sub>2</sub>OP-, (OH)O<sub>2</sub>S-, R<sup>11</sup>-(NH)<sub>2</sub>CH-(C=O)-,  
COOH-R<sup>11</sup>-(C=O)-, R<sup>11</sup>-(C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-, R<sup>11</sup>-O-(C=O)-, COOH-(C=O)-, NH<sub>2</sub>-R<sup>11</sup>-(C=O)-,  
NH<sub>2</sub>-R<sup>11</sup>-O-(C=O)-, or R<sup>11</sup>-(C=O)-;

R<sup>11</sup> is hydrogen, (C<sub>1</sub>-C<sub>9</sub>)alkyl, (C<sub>2</sub>-C<sub>9</sub>)alkenyl, (C<sub>2</sub>-C<sub>9</sub>)alkynyl, (C<sub>1</sub>-C<sub>9</sub>)alkoxy,  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, aryl, (C<sub>1</sub>-C<sub>9</sub>)alkyl-(C=O)-(C<sub>1</sub>-  
C<sub>9</sub>)alkyl, (C<sub>1</sub>-C<sub>9</sub>)alkyl-(C=O)-(C<sub>1</sub>-C<sub>9</sub>)alkoxy, (C<sub>1</sub>-C<sub>9</sub>)alkoxy-(C=O)-(C<sub>1</sub>-C<sub>9</sub>)alkyl, (C<sub>1</sub>-C<sub>9</sub>)alkoxy-  
(C=O)-(C<sub>1</sub>-C<sub>9</sub>)alkoxy, (C<sub>1</sub>-C<sub>9</sub>)alkyl-(C=O)-(C<sub>2</sub>-C<sub>9</sub>)alkenyl, (C<sub>1</sub>-C<sub>9</sub>)alkoxy-(C=O)-(C<sub>2</sub>-  
C<sub>9</sub>)alkenyl, (C<sub>1</sub>-C<sub>9</sub>)alkyl-(C=O)-(C<sub>2</sub>-C<sub>9</sub>)alkynyl, (C<sub>1</sub>-C<sub>9</sub>)alkoxy-(C=O)-(C<sub>2</sub>-C<sub>9</sub>)alkynyl, wherein  
R<sup>11</sup> may be unsubstituted or substituted with one or more of hydrogen, hydroxy, carboxy, NH<sub>2</sub>-  
(C=NH)-HN-, (OH)<sub>2</sub>OP-O-, (OH)O<sub>2</sub>S-O-, (C<sub>1</sub>-C<sub>9</sub>)alkyl, amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, amino(C<sub>1</sub>-  
C<sub>6</sub>)alkylamine, -NH<sub>2</sub>-(C=O)-, thio, thio(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl,  
(C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, or aryl;

~~R<sup>12</sup> is hydrogen, CN-, (C=O)-(C<sub>1</sub>-C<sub>9</sub>)alkyl, or (SO<sub>2</sub>)-(C<sub>1</sub>-C<sub>9</sub>)alkyl;~~

L is a bond or -O-(CR<sup>13</sup>R<sup>14</sup>)-;

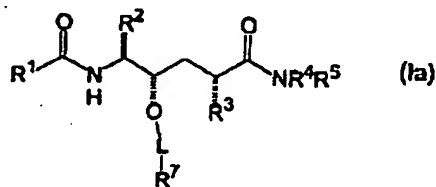
R<sup>13</sup> and R<sup>14</sup> are each independently hydrogen or (C<sub>1</sub>-C<sub>3</sub>)alkyl;

with the proviso that if L is a bond, both R<sup>7</sup> and R<sup>8</sup> may not be hydrogen unless R<sup>1</sup> is (C<sub>2</sub>-  
C<sub>9</sub>)heteroaryl substituted with one or more groups of oxygen;

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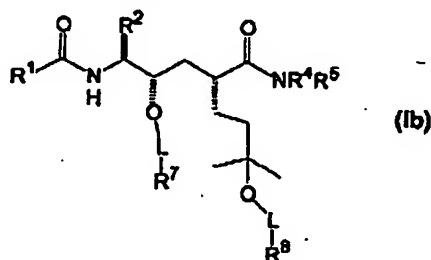
with the proviso that when either  $R^4$  or  $R^5$  is hydrogen, and the other of  $R^4$  or  $R^5$  is  $(C_1-C_6)$ alkyl,  $R^2$  is  $(C_3-C_{10})$ cycloalkyl or isopropyl and  $R^3$  is  $(C_3-C_3)$ alkyl, phenyl, methylvinyl, dimethylvinyl, halovinyl, hydroxy $(C_1-C_3)$ alkyl or amino $(C_1-C_4)$ alkyl then  $R^1$  must be other than indol-5-yl, 6-azaindol-2-yl, 2,3-dichloro-pyrol-5-yl, 4-hydroxyquinolin-3-yl, 2-hydroxyquinoxalin-3-yl, 6-azaindolin-3-yl, or optionally substituted indol-2 or 3-yl; and the pharmaceutically acceptable forms of such compounds.

2. (Currently Amended) The compound according to claim 1, wherein the compound of formula (I) has the stereochemistry shown in formula (Ia):



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^7$ , and  $L$  are as described in claim 1.

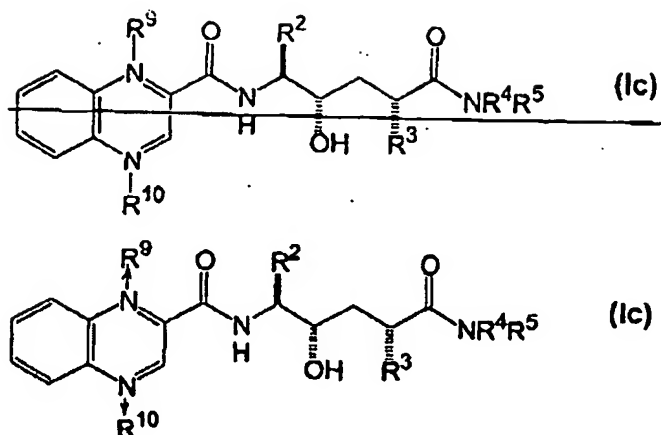
3. (Currently Amended) The compound according to claim 1, wherein  $R^3$  is ~~2-methyl-butan-2-~~  $\ominus R^8$  2-methyl-butan-2-O-L- $R^8$  to form the compound of formula (Ib):



wherein  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$ ,  $R^7$  and  $R^8$ , and  $L$  are as described in claim 1.

4. (Currently Amended) The compound according to claim 1, wherein  $R^1$  is  $(C_3-C_6)$ heteroaryl substituted with one or more groups of oxygen or electron pairs of quinoxaliny,  $R^7$  is hydrogen, and  $L$  is a bond as shown in formula (Ic)





wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are as described in claim 1; and

R<sup>9</sup> and R<sup>10</sup> are each independently oxygen or electron pairs, with the proviso that at least one of R<sup>9</sup> and R<sup>10</sup> are oxygen if R<sup>3</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl substituted with  $\ominus\text{R}^6\text{-R}^8\text{-L-O}$  and R<sup>6</sup> is hydrogen and R<sup>7</sup> is hydrogen.

5. (Original) The compound according to claim 1, wherein R<sup>1</sup> is an optionally substituted pyrazolo[3,4-b]pyridinyl, cinnolinyl, pyridinyl, 6,7-dihydro-5H-[1]pyrindinyl, benzothiazolyl, indolyl, pyrazinyl, benzoimidazolyl, benzofuranyl, benzo[b]thiophenyl, naphthalenyl, quinoxalinyl, isoquinolinyl, 5,6,7,8-tetrahydro-quinolin-3-yl or quinolinyl.
6. (Original) The compound according to claim 5, wherein R<sup>1</sup> is an optionally substituted quinoxalin-2-yl, quinoxalin-6-yl, quinolin-2-yl, quinolin-3-yl, quinolin-4-yl or quinolin-6-yl.
7. (Original) The compound according to claim 1, wherein R<sup>2</sup> is an optionally substituted phenyl, benzyl, naphthyl, cyclohexyl, thienyl, thiazolyl, pyridyl, oxazolyl, furanyl, or thiophenyl; wherein said substituents are each independently hydrogen, halo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl, trifluoromethoxy, hydroxy, -C(=O)-OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C=O)-, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, [(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>amino, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-, HO-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-O-(C=O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-(C=O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl,

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$\text{H}_2\text{N}-(\text{C}=\text{O})-$ ,  $(\text{C}_1-\text{C}_6)\text{alkyl}-\text{NH}-(\text{C}=\text{O})-$ ,  $[(\text{C}_1-\text{C}_6)\text{alkyl}]_2\text{N}-(\text{C}=\text{O})-$ ,  $\text{H}_2\text{N}(\text{C}=\text{O})-(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{alkyl}-\text{HN}(\text{C}=\text{O})-(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $[(\text{C}_1-\text{C}_6)\text{alkyl}]_2\text{N}-(\text{C}=\text{O})-(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $\text{H}(\text{O}=\text{C})-\text{NH}-$ ,  $(\text{C}_1-\text{C}_6)\text{alkyl}(\text{C}=\text{O})-\text{NH}$ ,  $(\text{C}_1-\text{C}_6)\text{alkyl}(\text{C}=\text{O})-[\text{NH}](\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{alkyl}(\text{C}=\text{O})-[\text{N}(\text{C}_1-\text{C}_6)\text{alkyl}](\text{C}_1-\text{C}_6)\text{alkyl}$ , phenoxy, or benzyloxy.

8. (Original) The compound according to claim 7, wherein  $\text{R}^2$  is optionally substituted benzyl.
9. (Original) The compound according to claim 1, wherein  $\text{R}^3$  is an optionally substituted  $(\text{C}_1-\text{C}_{10})\text{alkyl}$ , benzyl, pyranyl or  $(\text{C}_3-\text{C}_{10})\text{cycloalkyl}-(\text{CH}_2)_n-$ , wherein any of the carbon-carbon single bonds of said  $(\text{C}_1-\text{C}_{10})\text{alkyl}$  may be optionally replaced by a carbon-carbon double bond.
10. (Original) The compound according to claim 9, wherein  $\text{R}^3$  is an optionally substituted n-butyl, isobutyl, n-pentyl, 3-methyl-butyl, 2-methyl-pentyl, allyl, cyclopentyl, cyclohexyl or cycloheptyl, and the optional substituent is fluoro,  $(\text{C}_1-\text{C}_6)\text{alkyl}$  or hydroxy.
11. (Original) The compound according to claim 1, wherein  $\text{R}^4$  or  $\text{R}^5$  is hydrogen,  $(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_3-\text{C}_{10})\text{cycloalkyl}-(\text{CH}_2)_p-$ ,  $(\text{C}_2-\text{C}_9)\text{heterocycloalkyl}-(\text{CH}_2)_p-$ ,  $(\text{C}_2-\text{C}_9)\text{heteroaryl}-(\text{CH}_2)_p-$ , or phenyl- $(\text{CH}_2)_p-$ .
12. (Original) The compound according to claim 1, wherein  $\text{R}^7$  or  $\text{R}^8$  is  $\text{NH}_2-\text{R}^{11}-(\text{C}=\text{O})-$  or  $\text{R}^{11}-(\text{NH})_2\text{CH}-(\text{C}=\text{O})-$  to form an amino acid ester or  $\text{R}^7$  or  $\text{R}^8$  is  $\text{COOH}-\text{R}^{11}-(\text{C}=\text{O})-$  to form a dicarboxylic acid monoester.
13. (Original) The compound according to claim 1, wherein the compound is:  
 Phosphoric acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;  
 Sulfuric acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;  
 Phosphoric acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-

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dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;

Sulfuric acid mono-(4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;

Phosphoric acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-methyl-6-phosphonooxy-heptyl} ester;

Sulfuric acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-methyl-6-sulfooxy-heptyl} ester;

1-Oxy-quinoxaline-2-carboxylic acid [4(R)-carbamoyl-1(S)-(3-fluoro-benzyl)-2(S),7-dihydroxy-7-methyl-octyl]-amide;

4-Oxy-quinoxaline-2-carboxylic acid [4(R)-carbamoyl-1(S)-(3-fluoro-benzyl)-2(S),7-dihydroxy-7-methyl-octyl]-amide;

1,4-Dioxy-quinoxaline-2-carboxylic acid [4(R)-carbamoyl-1(S)-(3-fluoro-benzyl)-2(S),7-dihydroxy-7-methyl-octyl]-amide;

Amino-acetic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

2(S)-Amino-propionic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

2(S),6-Diamino-hexanoic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

2(S)-Amino-5-guamidino-pentanoic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

2(S)-Amino-3-(3H-imidazol-4-yl)-propionic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

2(S)-Amino-succinic acid 1-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl} ester;

2(S)-Amino-pentanedioic acid 1-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl} ester;

2(S)-Amino-succinamic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-

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[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;  
 2(S)-Amino-4-carbamoyl-butyric acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-  
 1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;  
 3-(2,4-Dimethyl-6-phosphonooxy-phenyl)-3-methyl-butyric acid 3(R)-carbamoyl-  
 1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-  
 methyl-heptyl ester;  
 2-Acetoxymethyl-benzoic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-  
 [(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;  
 Succinic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-  
 2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;  
 Succinic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-  
 carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester ethyl ester;  
 Pentanedioic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-  
 [(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;  
 Pentanedioic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-  
 carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester ethyl ester;  
 Amino-acetic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-  
 7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;  
 2(S)-Amino-propionic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-  
 dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;  
 2(S),6-Diamino-hexanoic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-  
 1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;  
 2(S)-Amino-5-guanidino-pentanoic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-  
 hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;  
 2(S)-Amino-3-(3H-imidazol-4-yl)-propionic acid 4(R)-carbamoyl-8-(3-fluoro-  
 phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;  
 2(S)-Amino-succinic acid 1-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-  
 1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;  
 2(S)-Amino-pentanedioic acid 1-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-

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hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;  
 Succinic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;  
 Succinic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester ethyl ester;  
 Pentanedioic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;  
 Pentanedioic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester ethyl ester;  
 Amino-acetic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl ester;  
 2(S)-Amino-propionic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl ester;  
 Amino-acetic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl ester;  
 2(S)-Amino-propionic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl ester;  
 Succinic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl) ester;  
 Succinic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl ester ethyl ester;  
 Pentanedioic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl) ester;  
 Pentanedioic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxymethyl ester ethyl ester;  
 Succinic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl} ester;  
 Succinic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl ester ethyl ester;

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Pentanedioic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl} ester;

Pentanedioic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxymethyl ester ethyl ester;

{3(R)-Carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxycarbonyloxy}-acetic acid;

3-{3(R)-Carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxycarbonyloxy}-propionic acid;

Carbonic acid 2-amino-ethyl ester 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;

{4(R)-Carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxycarbonyloxy}-acetic acid;

3-{4(R)-Carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxycarbonyloxy}-propionic acid;

Carbonic acid 2-amino-ethyl ester 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester;

But-2-enedioic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;

Oxalic acid mono-(3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl) ester;

Amino-acetic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyloxycarbonyloxymethyl ester;

Carbonic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester 2,3-dihydroxy-propyl ester;

Cis-but-2-enedioic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;

Oxalic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;

Trans-but-2-enedioic acid mono-{4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-

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hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl} ester;  
 Acetic acid 3(R)-carbamoyl-1(S)-{2-(3-fluoro-phenyl)-1(S)-[(quinoxaline-2-carbonyl)-amino]-ethyl}-6-hydroxy-6-methyl-heptyl ester;  
 Amino-acetic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyloxycarbonyloxymethyl ester; or  
 Carbonic acid 4(R)-carbamoyl-8-(3-fluoro-phenyl)-6(S)-hydroxy-1,1-dimethyl-7(S)-[(quinoxaline-2-carbonyl)-amino]-octyl ester 2,3-dihydroxy-propyl ester.

14. (Original) A pharmaceutical composition comprising an amount of a compound according to claim 1, or a pharmaceutically acceptable salt or ester thereof and a pharmaceutically acceptable carrier.
15. (Withdrawn) A method for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a subject or inhibiting the production of metalloproteinase or cytokine at an inflammatory site in a subject, wherein the method comprises administering to said subject an effective amount of the compound of claim 1.
16. (Withdrawn) A method of treating or preventing a disorder or condition selected from the group consisting of autoimmune diseases, acute and chronic inflammatory conditions, allergic conditions, infection associated with inflammation, viral inflammation, transplantation tissue rejection, atherosclerosis, restenosis, HIV infectivity, granulomatous diseases in a mammal, fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents; wherein the method comprises administering to a mammal a pharmaceutically effective amount of the compound of claim 1.
17. (Withdrawn) The method of claim 16, wherein the disorder or condition is selected from the group consisting of rheumatoid arthritis, Takayasu arthritis, psoriatic arthritis, ankylosing

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spondylitis, type I diabetes (recent onset), lupus, inflammatory bowel disease, Crohn's disease, optic neuritis, psoriasis, multiple sclerosis, polymyalgia rheumatica, uveitis, thyroiditis and vasculitis, pulmonary fibrosis, fibrosis associated with end-stage renal disease, fibrosis caused by radiation, tubulointerstitial fibrosis, subepithelial fibrosis, scleroderma, hepatic fibrosis, primary and secondary biliary cirrhosis, asthma, contact dermatitis, atopic dermatitis, chronic bronchitis, chronic obstructive pulmonary disease, adult Respiratory Distress Syndrome, Respiratory Distress Syndrome of infancy, immune complex alveolitis, synovial inflammation caused by arthroscopy, hyperuremia, osteoarthritis, ischemia reperfusion injury, glomerulonephritis, nasal polyosis, enteritis, Behcet's disease, preeclampsia, oral lichen planus, Guillian-Barre syndrome, sarcoidosis, leprosy, tuberculosis, obesity, cachexia, anorexia, type II diabetes, hyperlipidemia and hypergonadism, sequelae associated with multiple myeloma, breast cancer, joint tissue damage, hyperplasia, pannus formation and bone resorption, hepatic failure, Kawasaki syndrome, myocardial infarction, acute liver failure, septic shock, congestive heart failure, pulmonary emphysema or dyspnea associated therewith, viral induced encephalomyelitis or demyelination, viral inflammation of the lung or liver, gastrointestinal inflammation, bacterial meningitis, cytomegalovirus, adenoviruses, Herpes viruses, fungal meningitis, lyme disease, and malaria.

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